

(FILE 'HOME' ENTERED AT 22:49:43 ON 09 NOV 2008)

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L1 STRUCTURE UPLOADED
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FILE 'CAPLUS' ENTERED AT 22:52:48 ON 09 NOV 2008

=> s L3

L4 6 L3

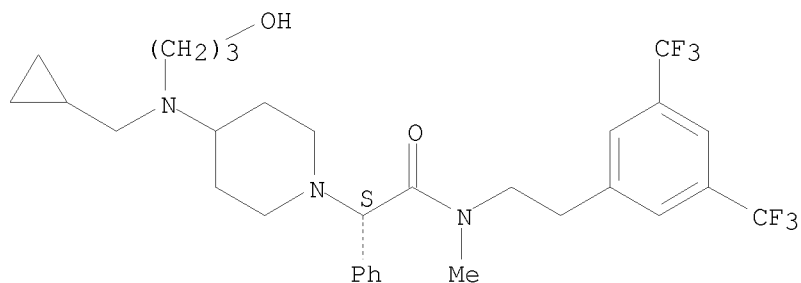
=> d L4 1-6 TI ABS IBIB HITSTR

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Pharmaceutical compositions based on anticholinergics and additional active ingredients
AB A pharmaceutical compn. comprising an anticholinergic and at least one addnl. active ingredient selected from among corticosteroids, dopamine agonists, PDE-IV inhibitors, NK1-antagonists, endothelin antagonists, antihistamines, and EGFR-kinase inhibitors, processes for prepg. them and their use in the treatment of respiratory diseases. Among a no. of compds. prepd. was N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-[4-[(3-hydroxypropyl)methylamino]piperidin-1-yl]-N-methyl-2-phenylacetamide. Inhalable powders include a formulation contg. tiotropium bromide, budesonide, and lactose.
ACCESSION NUMBER: 2005:586215 CAPLUS
DOCUMENT NUMBER: 143:120526
TITLE: Pharmaceutical compositions based on anticholinergics and additional active ingredients
INVENTOR(S): Pairet, Michel; Pieper, Michael P.; Meade, Christopher
John Montague; Reichl, Richard; Schmelzer, Christel; Jung, Birgit
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany
SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 824,391.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

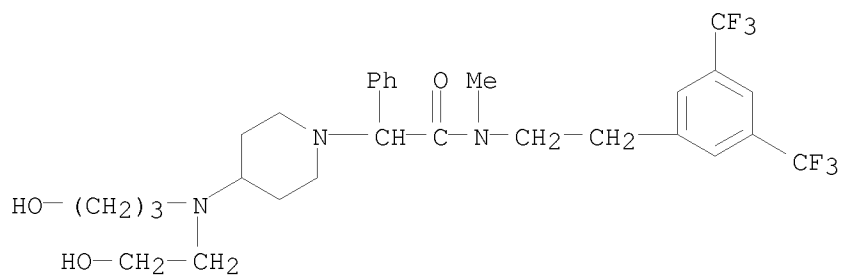
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 20050148562 | A1 | 20050707 | US 2004-6940 | 20041208 |
| DE 10062712 | A1 | 20020620 | DE 2000-10062712 | 20001215 |
| DE 10063957 | A1 | 20020627 | DE 2000-10063957 | 20001220 |
| DE 10110772 | A1 | 20020912 | DE 2001-10110772 | 20010307 |
| DE 10111058 | A1 | 20020912 | DE 2001-10111058 | 20010308 |
| DE 10113366 | A1 | 20020926 | DE 2001-10113366 | 20010320 |
| DE 10138272 | A1 | 20030227 | DE 2001-10138272 | 20010810 |
| US 20020151541 | A1 | 20021017 | US 2001-7182 | 20011019 |
| US 20020183292 | A1 | 20021205 | US 2001-86145 | 20011019 |
| CA 2614631 | A1 | 20020510 | CA 2001-2614631 | 20011023 |
| US 20020137764 | A1 | 20020926 | US 2001-40196 | 20011025 |
| US 20020122773 | A1 | 20020905 | US 2001-27662 | 20011220 |
| DE 10206505 | A1 | 20030828 | DE 2002-10206505 | 20020216 |
| US 20020169181 | A1 | 20021114 | US 2002-92116 | 20020306 |
| US 6620438 | B2 | 20030916 | | |
| US 20020193393 | A1 | 20021219 | US 2002-93240 | 20020307 |

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| US 20020183347 | A1 | 20021205 | US 2002-100659 | 20020318 |
| US 6608054 | B2 | 20030819 | | |
| US 20030158196 | A1 | 20030821 | US 2003-360064 | 20030207 |
| US 20030181478 | A1 | 20030925 | US 2003-395777 | 20030324 |
| US 6890517 | B2 | 20050510 | | |
| US 20030203925 | A1 | 20031030 | US 2003-413065 | 20030414 |
| US 20030212075 | A1 | 20031113 | US 2003-419358 | 20030421 |
| US 6696042 | B2 | 20040224 | | |
| US 20040024007 | A1 | 20040205 | US 2003-613783 | 20030703 |
| US 20040151770 | A1 | 20040805 | US 2004-763894 | 20040123 |
| US 20040161386 | A1 | 20040819 | US 2004-775901 | 20040210 |
| US 20040176338 | A1 | 20040909 | US 2004-776757 | 20040211 |
| US 20040192675 | A1 | 20040930 | US 2004-824391 | 20040414 |
| US 20050147564 | A1 | 20050707 | US 2005-68134 | 20050228 |
| AU 2008202554 | A1 | 20080703 | AU 2008-202554 | 20080610 |
| PRIORITY APPLN. INFO.: | | | DE 2000-10054042 | A 20001031 |
| | | | US 2000-253613P | P 20001128 |
| | | | DE 2000-10062712 | A 20001215 |
| | | | DE 2000-10063957 | A 20001220 |
| | | | US 2000-257220P | P 20001221 |
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| | | | DE 2001-10110772 | A 20010307 |
| | | | DE 2001-10111058 | A 20010308 |
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| | | | US 2001-281653P | P 20010405 |
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| | | | US 2003-360064 | A2 20030207 |
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| | | | CA 2001-2436540 | A3 20011023 |
| | | | US 2001-40196 | B1 20011025 |
| | | | US 2003-395777 | A1 20030324 |
| | | | AU 2006-202723 | A3 20060626 |
| OTHER SOURCE(S): MARPAT 143:120526 | | | | |
| IT | 415917-07-6P 457910-79-1P 502422-75-5P | | | |
| | RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | | |
| | (pharmaceutical compns. based on anticholinergics and addnl. active ingredients) | | | |
| RN | 415917-07-6 CAPLUS | | | |
| CN | 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(cyclopropylmethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME) | | | |

Absolute stereochemistry.



RN 457910-79-1 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(2-hydroxyethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl- (CA INDEX NAME)

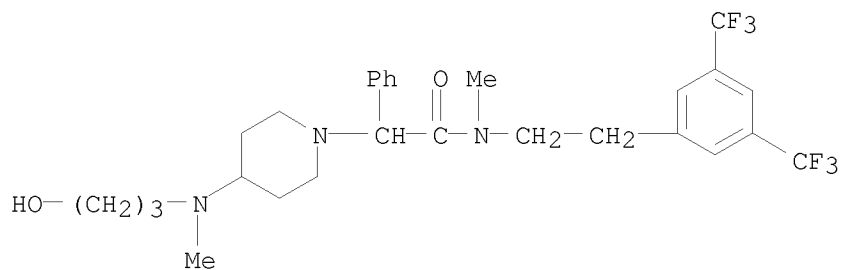


RN 502422-75-5 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(3-hydroxypropyl)methylamino]-N-methyl-.alpha.-phenyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

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CRN 415916-92-6

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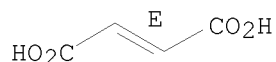


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



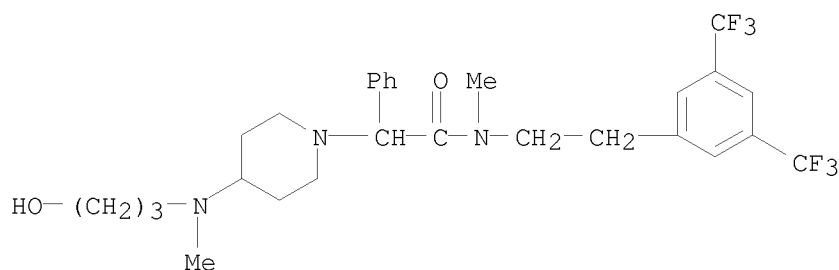
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 TI Pharmaceutical compositions comprising novel anticholinergic agents and
 NK1-receptor antagonists for the treatment of respiratory tract diseases
 AB The invention relates to novel pharmaceutical compns. comprising novel
 anticholinergic agents and NK1-receptor antagonists, method for prodn. and
 use thereof in the treatment of respiratory diseases. Thus an inhalation
 capsule contained (microgram/capsule): 2,2-Diphenylpropionic acid scoline
 ester methobromide 200; N-[2-(3,5-Bis-trifluoromethylphenyl)-ethyl]-2-{4-
 [(3-hydroxypropyl)methylamino]piperidin-1-yl}-N-methyl-2-phenylacetamide
 150; lactose 12150.

ACCESSION NUMBER: 2004:41273 CAPLUS
 DOCUMENT NUMBER: 140:99643
 TITLE: Pharmaceutical compositions comprising novel
 anticholinergic agents and NK1-receptor antagonists
 for the treatment of respiratory tract diseases
 INVENTOR(S): Pairet, Michel; Meade, Christopher John Montague;
 Pieper, Michael P.
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,
 Germany
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

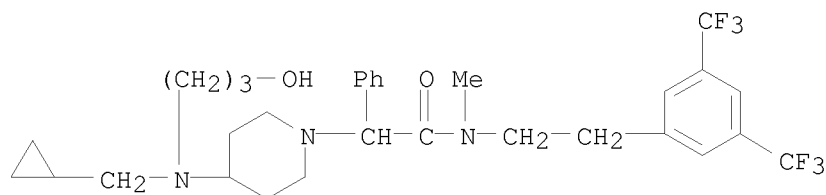
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| WO 2004004724 | A1 | 20040115 | WO 2003-EP6667 | 20030625 |
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| DE 10230750 | A1 | 20040122 | DE 2002-10230750 | 20020709 |
| CA 2491451 | A1 | 20040115 | CA 2003-2491451 | 20030625 |
| AU 2003242754 | A1 | 20040123 | AU 2003-242754 | 20030625 |
| EP 1521580 | A1 | 20050413 | EP 2003-762508 | 20030625 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005532378 | T | 20051027 | JP 2004-518565 | 20030625 |
| US 20040048886 | A1 | 20040311 | US 2003-614362 | 20030707 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10230750 | A 20020709 |
| | | | US 2002-407758P | P 20020903 |
| | | | WO 2003-EP6667 | W 20030625 |

OTHER SOURCE(S): MARPAT 140:99643
 IT 415916-92-6
 RL: PEP (Physical, engineering or chemical process); PYP (Physical
 process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
 USES (Uses)
 (pharmaceutical compns. comprising anticholinergic agents and

NK1-receptor antagonists for treatment of respiratory tract diseases)
 RN 415916-92-6 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(3-hydroxypropyl)methylamino]-N-methyl-.alpha.-phenyl- (CA INDEX NAME)

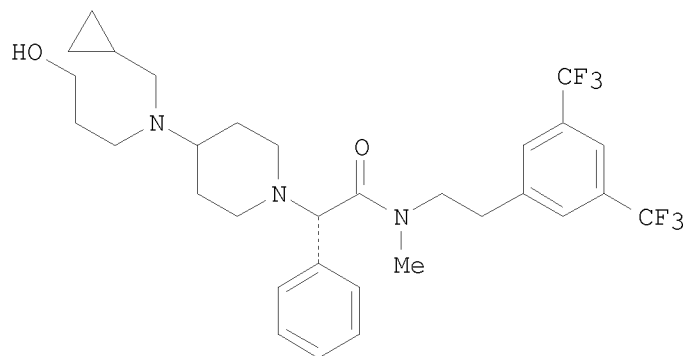


IT 457910-81-5
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical compns. comprising anticholinergic agents and
 NK1-receptor antagonists for treatment of respiratory tract diseases)
 RN 457910-81-5 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(cyclopropylmethyl) (3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 TI Method using NK1 receptor antagonists for the treatment or prevention of atopic dermatitis
 GI



AB The invention provides a method for the treatment or prevention of atopic dermatitis, which comprises the administration of an effective amt. of an NK1 receptor antagonist to a patient in need of such treatment, wherein the NK1 receptor antagonist is effective in inhibiting substance P-induced scratching in mice. Compds. of the invention include e.g. I. Prepn. of selected compds. is described.

ACCESSION NUMBER: 2003:238299 CAPLUS

DOCUMENT NUMBER: 138:248551

TITLE: Method using NK1 receptor antagonists for the treatment or prevention of atopic dermatitis

INVENTOR(S): Komune, Kunihiro; Ohmura, Tsuyoshi; Satoh, Hisashi

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| EP 1295599 | A1 | 20030326 | EP 2001-122730 | 20010921 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 20030100565 | A1 | 20030529 | US 2002-236824 | 20020906 |
| WO 2003026658 | A1 | 20030403 | WO 2002-EP10502 | 20020919 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002333858 | A1 | 20030407 | AU 2002-333858 | 20020919 |
| PRIORITY APPLN. INFO.: | | | EP 2001-122730 | A 20010921 |
| | | | US 2001-338416P | P 20011115 |
| | | | WO 2002-EP10502 | W 20020919 |

OTHER SOURCE(S): MARPAT 138:248551

IT 415917-07-6P 415917-12-3P 502422-75-5P

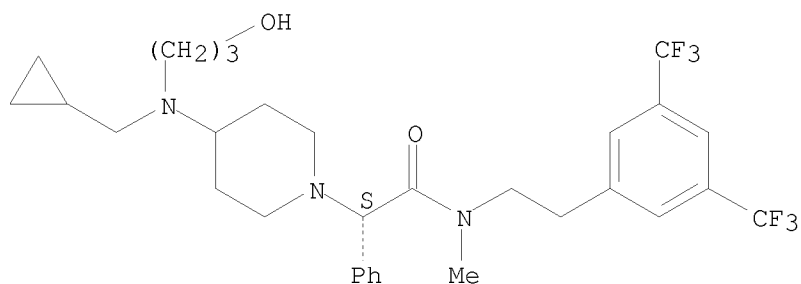
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(NK1 receptor antagonists for treatment or prevention of atopic dermatitis)

RN 415917-07-6 CAPLUS

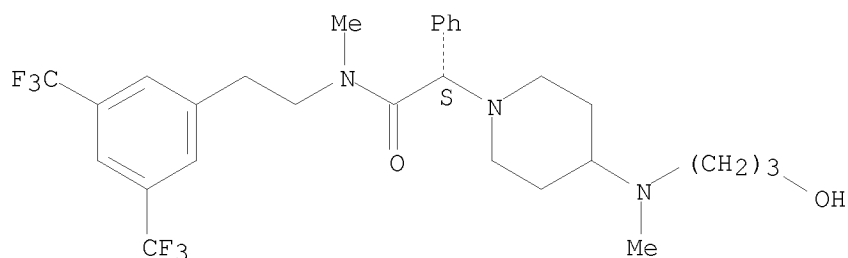
CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(cyclopropylmethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 415917-12-3 CAPLUS
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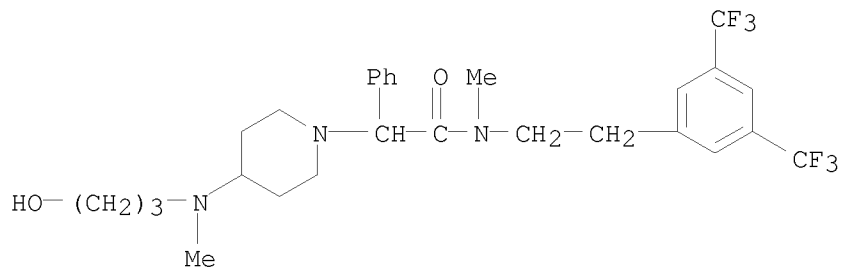
Absolute stereochemistry.



RN 502422-75-5 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(3-hydroxypropyl)methylamino]-N-methyl-.alpha.-phenyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

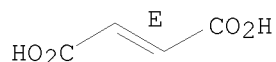
CRN 415916-92-6
 CMF C28 H35 F6 N3 O2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 TI Pharmaceutical compositions based on anticholinergics and NK1-receptor antagonists for the treatment of respiratory tract diseases
 AB The invention discloses pharmaceutical compns. based on anticholinergics and NK1-receptor antagonists, processes for prepg. them, and their use in the treatment of respiratory tract diseases. Prepn. of selected compds. is included.
 ACCESSION NUMBER: 2002:869585 CAPLUS
 DOCUMENT NUMBER: 137:346202
 TITLE: Pharmaceutical compositions based on anticholinergics and NK1-receptor antagonists for the treatment of respiratory tract diseases
 INVENTOR(S): Pairet, Michel; Pieper, Michael P.; Meade, Christopher J. M.
 PATENT ASSIGNEE(S): Germany
 SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U. S. Provisional Ser. NO. 281,653.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 19
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|-------------|
| US 20020169181 | A1 | 20021114 | US 2002-92116 | 20020306 |
| US 6620438 | B2 | 20030916 | | |
| DE 10111058 | A1 | 20020912 | DE 2001-10111058 | 20010308 |
| US 20030212075 | A1 | 20031113 | US 2003-419358 | 20030421 |
| US 6696042 | B2 | 20040224 | | |
| US 20040151770 | A1 | 20040805 | US 2004-763894 | 20040123 |
| US 20050148562 | A1 | 20050707 | US 2004-6940 | 20041208 |
| AU 2008202554 | A1 | 20080703 | AU 2008-202554 | 20080610 |
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| | | | DE 2000-10054042 | A 20001031 |
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| | | | DE 2000-10062712 | A 20001215 |
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| | | | US 2001-7182 | B1 20011019 |
| | | | US 2001-86145 | B1 20011019 |
| | | | US 2001-27662 | B1 20011220 |
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| US 2002-369213P | P | 20020401 |
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| US 2003-413065 | B2 | 20030414 |
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| US 2003-613783 | A2 | 20030703 |
| US 2004-763894 | A2 | 20040123 |
| US 2004-775901 | A2 | 20040210 |
| US 2004-776757 | A2 | 20040211 |
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| AU 2006-202723 | A3 | 20060626 |

OTHER SOURCE(S): MARPAT 137:346202

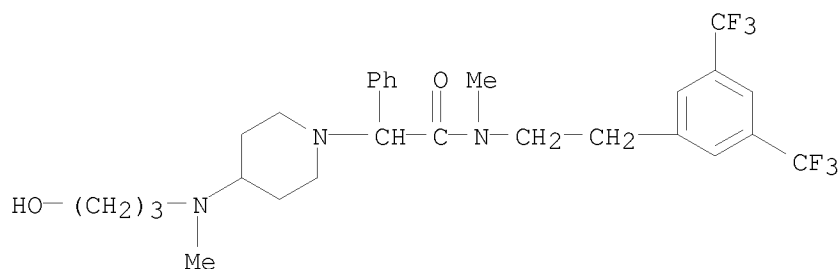
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticholinergics and NK1-receptor antagonists for treatment of respiratory tract diseases)

RN 415916-92-6 CAPLUS

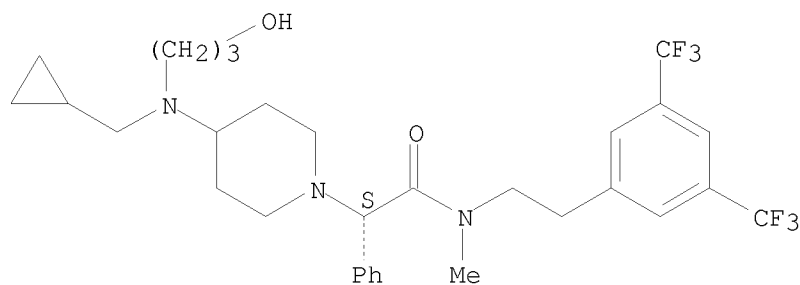
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RN 415917-07-6 CAPLUS

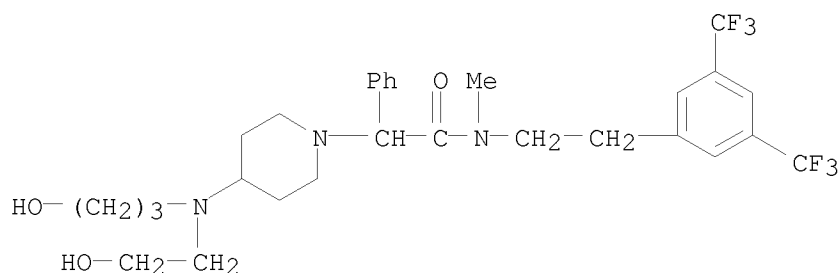
CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(cyclopropylmethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME)

Absolute stereochemistry.

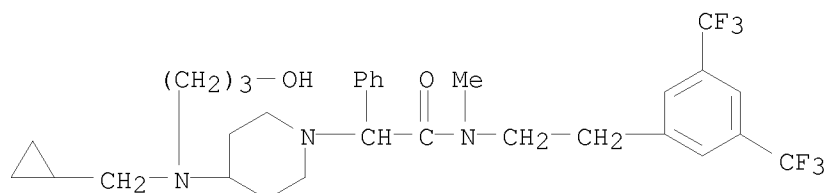


RN 457910-79-1 CAPLUS

CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(2-hydroxyethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl- (CA INDEX NAME)



IT 457910-81-5
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (anticholinergics and NK1-receptor antagonists for treatment of
 respiratory tract diseases)
 RN 457910-81-5 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-
 [(cyclopropylmethyl) (3-hydroxypropyl) amino]-N-methyl-.alpha.-phenyl- (CA
 INDEX NAME)



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 TI Inhalant compositions containing anticholinergics and NK1 receptor
 antagonists
 AB The invention relates to drug compns. based on anticholinergics and on NK1
 receptor antagonists, to methods for their prodn., and to their use as
 inhalants for the treatment of respiratory tract diseases. Synthesis of
 NK1 receptor antagonists from the group of
 bis-trifluoromethyl-phenyl-piperidine derivs. are described. The products
 are used in suspension aerosols. Thus a compn. contained (wt./wt.):
 tiotropium bromide 0.015; NK1 receptor antagonist 0.066; soy lecithin 0.2;
 TG11: TG12 = 2:3 to 100.
 ACCESSION NUMBER: 2002:695760 CAPLUS
 DOCUMENT NUMBER: 137:237717
 TITLE: Inhalant compositions containing anticholinergics and
 NK1 receptor antagonists
 INVENTOR(S): Meade, Christopher John Montague; Pairet, Michel;
 Pieper, Michael Paul
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 19
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2002069944 | A2 | 20020912 | WO 2002-EP1987 | 20020226 |

WO 2002069944 A3 20031002

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10111058 A1 20020912 DE 2001-10111058 20010308
CA 2439915 A1 20020912 CA 2002-2439915 20020226
AU 2002251010 A1 20020919 AU 2002-251010 20020226
EP 1370293 A2 20031217 EP 2002-719915 20020226

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004519484 T 20040702 JP 2002-569121 20020226
MX 2003PA08051 A 20031204 MX 2003-PA8051 20030905
AU 2006202723 A1 20060713 AU 2006-202723 20060626
AU 2006202723 B2 20080626
AU 2008202554 A1 20080703 AU 2008-202554 20080610

PRIORITY APPLN. INFO.: DE 2001-10111058 A 20010308
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AU 2002-308306 A3 20020222
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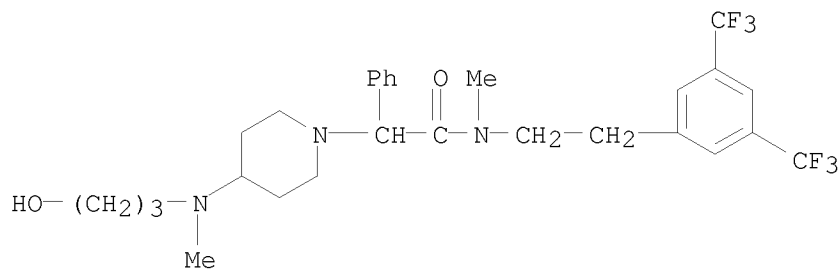
OTHER SOURCE(S): MARPAT 137:237717

IT 415916-92-6P 415917-07-6P 457910-79-1P
457911-01-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhalant compns. contg. anticholinergics and NK1 receptor antagonists)

RN 415916-92-6 CAPLUS

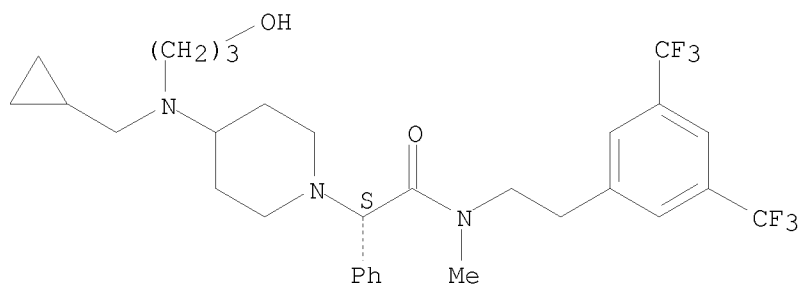
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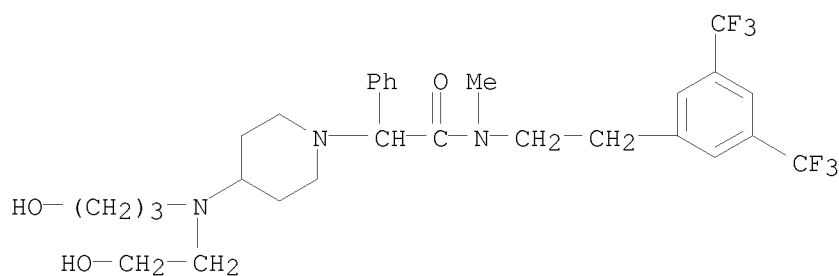
RN 415917-07-6 CAPLUS

CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(cyclopropylmethyl) (3-hydroxypropyl) amino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 457910-79-1 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(2-hydroxyethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl- (CA INDEX NAME)

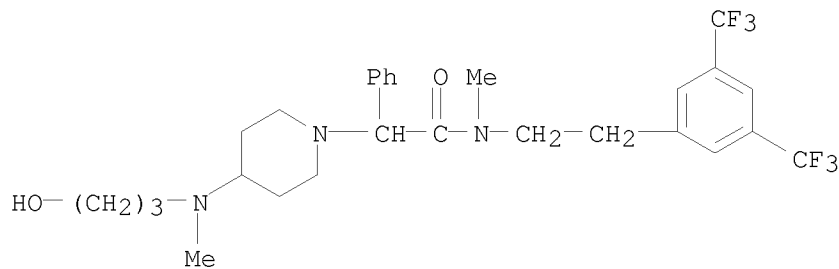


RN 457911-01-2 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(3-hydroxypropyl)methylamino]-N-methyl-.alpha.-phenyl-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

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CRN 415916-92-6

CMF C28 H35 F6 N3 O2

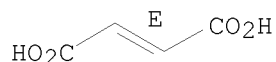


CM 2

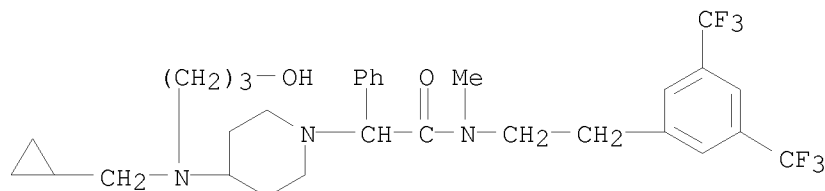
CRN 110-17-8

CMF C4 H4 O4

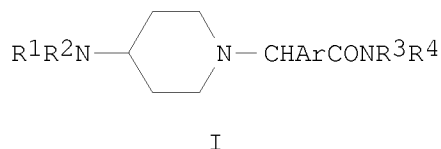
Double bond geometry as shown.



IT 457910-81-5
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (inhalant compns. contg. anticholinergics and NK1 receptor antagonists)
 RN 457910-81-5 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-
 [(cyclopropylmethyl) (3-hydroxypropyl) amino]-N-methyl-.alpha.-phenyl- (CA
 INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 TI 4-Aminopiperidinylacetamides as neurokinin antagonists
 GI



AB Title compds. I [R1 = (CH2)3OH, CH2CH(OH)CH2OH, cycloalkylmethyl; R2 = H, alkyl, hydroxyalkyl, CH2CH(OH)CH2OH, cycloalkylmethyl; R3 = (un)substituted Ph; R4 = H, alkyl, cycloalkyl, CH2CO2H, CH2CONH2. OH, phenylalkyl; Ar = (un)substituted Ph] were prepd. Thus, 1-benzyl-4-piperidinone was treated with H2N(CH2)3OH, N-methylated, debenzylated, and treated with 3,5-(F3C)2C6H3CH2CH2NMeCOCHPhO3SMe to give I [R1 = (CH2)3OH, R2 = R3 = Me, R4 = 3,5-(F3C)2C6H3CH2CH2]. At 0.2 .mu.Mol/kg iv in guinea pigs this compd. was effective in lowering blood pressure for > 360 min.

ACCESSION NUMBER: 2002:314907 CAPLUS
 DOCUMENT NUMBER: 136:340590
 TITLE: 4-Aminopiperidinylacetamides as neurokinin antagonists
 INVENTOR(S): Dollinger, Horst; Esser, Franz; Jung, Birgit; Schromm, Kurt; Speck, Georg
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2002032865 | A1 | 20020425 | WO 2001-EP11906 | 20011016 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| DE 10051320 | A1 | 20020425 | DE 2000-10051320 | 20001017 |
| AU 2002023617 | A | 20020429 | AU 2002-23617 | 20011016 |
| US 20020147219 | A1 | 20021010 | US 2001-981025 | 20011016 |
| US 6747044 | B2 | 20040608 | | |
| CA 2426221 | A1 | 20030417 | CA 2001-2426221 | 20011016 |
| EP 1328516 | A1 | 20030723 | EP 2001-987744 | 20011016 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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|----------------|---|----------|----------------|----------|
| JP 2004514658 | T | 20040520 | JP 2002-536049 | 20011016 |
| MX 2003PA03334 | A | 20041202 | MX 2003-PA3334 | 20030415 |

PRIORITY APPLN. INFO.:

| | | |
|------------------|---|----------|
| DE 2000-10051320 | A | 20001017 |
| US 2000-250541P | P | 20001201 |
| WO 2001-EP11906 | W | 20011016 |

OTHER SOURCE(S): MARPAT 136:340590

IT 415917-04-3P 415917-07-6P 502422-75-5P

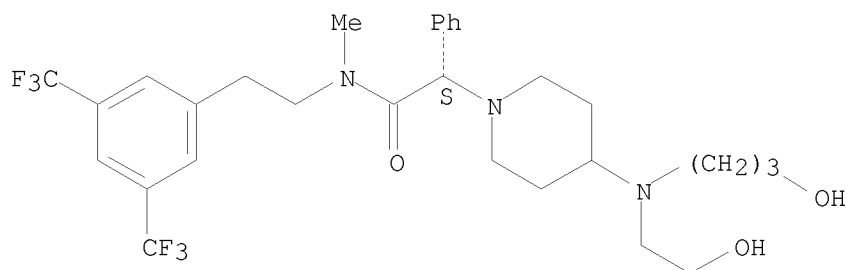
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-aminopiperidinylacetamides as neurokinin antagonists)

RN 415917-04-3 CAPLUS

CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(2-hydroxyethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME)

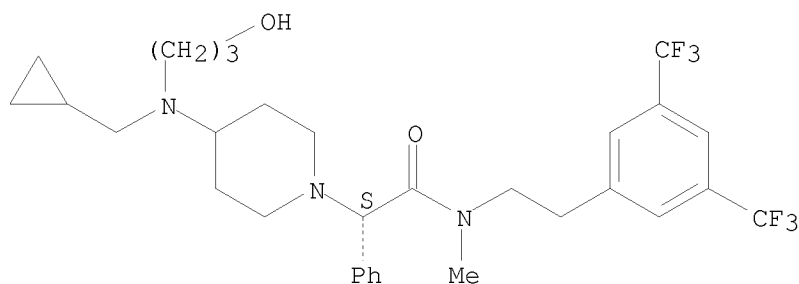
Absolute stereochemistry. Rotation (+).



RN 415917-07-6 CAPLUS

CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(cyclopropylmethyl)(3-hydroxypropyl)amino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME)

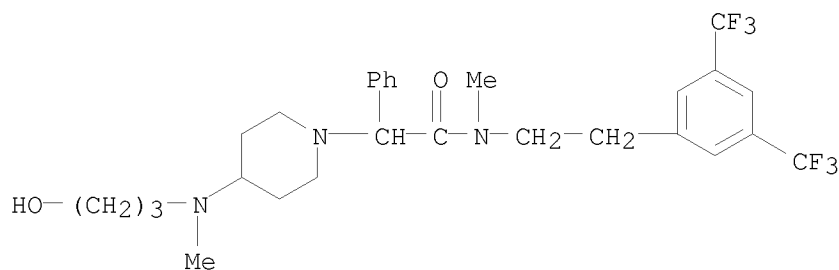
Absolute stereochemistry.



RN 502422-75-5 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(3-hydroxypropyl)methylamino]-N-methyl-.alpha.-phenyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

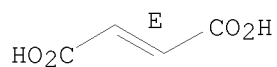
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CM 2

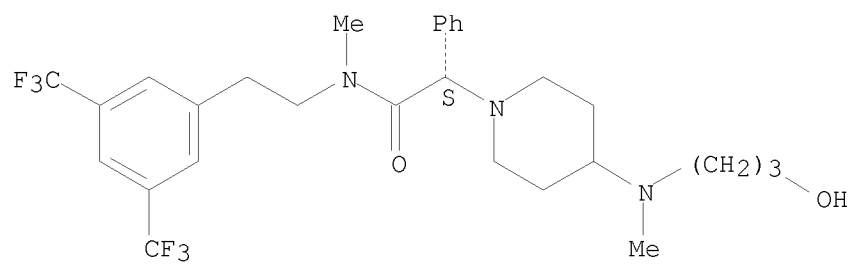
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



IT 415917-12-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-aminopiperidinyllacetamides as neurokinin antagonists)
 RN 415917-12-3 CAPLUS
 CN 1-Piperidineacetamide, N-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4-[(3-hydroxypropyl)methylamino]-N-methyl-.alpha.-phenyl-, (.alpha.S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT